

Product Data Sheet

Product Name: WF-47-JS03

Cat. No.: GC61381

Chemical Properties

Cas. No. 2561413-77-0

SMILES NC(N1C(N=C2NC3CC(C)(C)N(C)C(C)(C)C3)=C(C4=CC(OC)=C(OC)C=C4)C=N1)=C2C5=CC=CC=C5

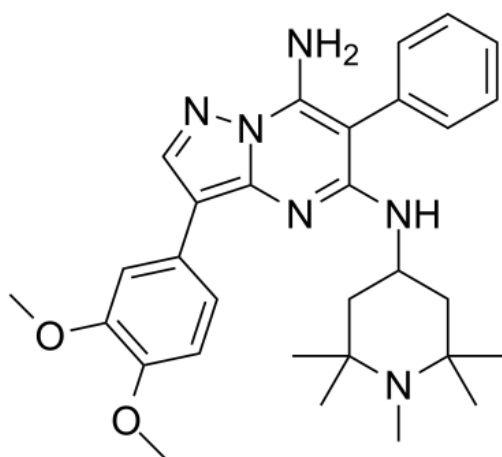
Formula $C_{30}H_{38}N_6O_2$ M.Wt 514.66

Solubility Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

WF-47-JS03 is a potent and selective RET kinase inhibitor with IC₅₀s of 1.7 nM and 5.3 nM for KIF5B-RET transfected Ba/F3 cells, CCDC6-RET transfected LC-2/ad lung cancer cells, respectively. WF-47-JS03 demonstrates >500-fold selectivity against kinase insert domain receptor (KDR). Effective brain penetration[1].

WF-47-JS03 inhibits Tel-KDR transfected Ba/F3 cells, and Ba/F3 wild-type cell lines with IC₅₀s of

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0.99 and 1.5 μ M, respectively[1].

WF-47-JS03 significantly inhibits tumor growth in RIE KIF5B-RET xenograft mice and is well tolerated at 1, 3, and 10 mg/kg in the 10 day study[1]. Animal Model: Female 6-8 week old Harlan Foxn1 nude mice with RIE-RET-KIF5B transgenic cell line

[1]. Casey J N Mathison , et al. Efficacy and Tolerability of Pyrazolo[1,5- a]pyrimidine RET Kinase Inhibitors for the Treatment of Lung Adenocarcinoma. ACS Med Chem Lett. 2020 Feb 12;11(4):558-565.

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